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NEWS 15

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                 of Author Abstracts
                 New FASTA Display Formats Added to USGENE and PCTGEN
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         FEB 16
NEWS
      7 FEB 16
                 INPADOCDB and INPAFAMDB Enriched with New Content
                 and Features
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                 INSPEC Adding Its Own IPC codes and Author's E-mail
                 Addresses
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                 CAS Registry Number Crossover Limits Increased to
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                 500,000 in Key STN Databases
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         APR 02
                 PATDPAFULL: Application and priority number formats
                 enhanced
NEWS 11
         APR 02
                 DWPI: New display format ALLSTR available
NEWS 12
         APR 02
                 New Thesaurus Added to Derwent Databases for Smooth
                 Sailing through U.S. Patent Codes
NEWS 13
         APR 02
                 EMBASE Adds Unique Records from MEDLINE, Expanding
                 Coverage back to 1948
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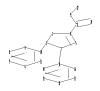
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http://www.cas.org/support/stngen/stndoc/properties.html

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chain nodes :
18 19 20 22
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
1-15 2-10 4-18 18-19 18-20 19-22
ring bonds :
1-2 \quad 1-5 \quad 2-3 \quad 3-4 \quad 4-5 \quad 6-7 \quad 6-11 \quad 7-8 \quad 8-9 \quad 9-10 \quad 10-11 \quad 12-13 \quad 12-17 \quad 13-14
14-15 15-16 16-17
exact/norm bonds :
1-2 1-5 1-15 4-5 18-19 18-20 19-22
exact bonds :
2-3 2-10 3-4 4-18
normalized bonds :
6-7 \quad 6-11 \quad 7-8 \quad 8-9 \quad 9-10 \quad 10-11 \quad 12-13 \quad 12-17 \quad 13-14 \quad 14-15 \quad 15-16 \quad 16-17
isolated ring systems :
containing 1 : 6 : 12 :
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G1:H,CH3,Et,n-Pr,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS 20:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1

G1 H, Me, Et, n-Pr, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 15:46:43 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1539 TO ITERATE

100.0% PROCESSED 1539 ITERATIONS 9 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 28427 TO 33133

PROJECTED ANSWERS: 9 TO 360

L2 9 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 15:46:51 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 30013 TO ITERATE

100.0% PROCESSED 30013 ITERATIONS 179 ANSWERS

SEARCH TIME: 00.00.01

L3 179 SEA SSS FUL L1

=> FIL HCAPLUS

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 191.54 191.76

FILE 'HCAPLUS' ENTERED AT 15:46:57 ON 04 MAY 2010

10589743.trn 07/15/2010 Page 4

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FILE COVERS 1907 - 4 May 2010 VOL 152 ISS 19
FILE LAST UPDATED: 3 May 2010 (20100503/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2010

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the first quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 109 L3

=> s 14 and py<=2004 25158054 PY<=2004

L5 33 L4 AND PY<=2004

=> s 15 and p/dt

7168721 P/DT

L6 5 L5 AND P/DT

=> s 14 and p/dt

7168721 P/DT

L7 78 L4 AND P/DT

=> s 17 and us/pc

2071306 US/PC

L8 22 L7 AND US/PC

=> s 18 and py<=2004

25158054 PY<=2004

L9 4 L8 AND PY<=2004

=> s 15 and us/pc

2071306 US/PC

L10 4 L5 AND US/PC

## => d l6 ibib abs hitstr tot

L6 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:793411 HCAPLUS

DOCUMENT NUMBER: 137:310911

TITLE: Utilization of pyrazoline derivatives, as inhibitors

of the expression of the gene responsible for COX-2 synthesis, in the preparation of a medicament for the prevention and/or treatment of proliferative cell

diseases

INVENTOR(S): Cuberes-Altisent, Maria Rosa; Berrocal-Romero, Juana

Maria; Contijoch-Llobet, Maria Montserrat;

Frigola-Constansa, Jordi

PATENT ASSIGNEE(S): Laboratorios del Esteve, S.A., Spain

SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Spanish

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	OM,	PH,	,
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AT 326966	T	20060615	AT 2002-	-714233		20020321	
PT 1384477	E	20060929	PT 2002-	-714233		20020321	
ES 2264723	Т3	20070116	ES 2002-	-714233		20020321	
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US 20040034082	A1	20040219	US 2002-	-312193		20021217	<
NO 2003004470	A	20031205	NO 2003-	-4470		20031006	<
MX 2003009124	A	20050411	MX 2003-	-9124		20031006	
нк 1067311	A1	20070622	HK 2004-	-110341		20041230	
PRIORITY APPLN. INFO.:			ES 2001-	-818	Α	20010406	
			CN 2002-	-809893	A3	20020321	
			EP 2002-	-714233	АЗ	20020321	
			WO 2002-	-ES137	W	20020321	

OTHER SOURCE(S): MARPAT 137:310911

$$R^{4}$$
 $R^{3}$ 
 $R^{2}$ 
 $R^{8}$ 
 $R^{7}$ 
 $R^{7}$ 
 $R^{6}$ 
 $R^{7}$ 
 $R^{8}$ 
 $R^{7}$ 
 $R^{8}$ 
 $R^{7}$ 
 $R^{8}$ 
 $R^{7}$ 
 $R^{7$ 

AΒ The invention relates to pyrazoline derivs. I [wherein R1 = H, Me, CH2F, CHF2, CF3, CO2H, C1-4 alkoxycarbonyl, CONH2, or cyano; R2 = H or Me; R3, R4, R7, R8 = H, C1, F, Me, CF3, or OMe; R5, R6 = H, C1, F, Me, CF3, OMe, OCF3, SO2Me, SO2NH2, or SO2NHAc, provided that 1 of R5 or R6 = SO2Me, SO2NH2, or SO2NHAc, and provided that if R1 = Me, then: R2 = H or Me; R3 and R8 = H, C1, F, Me, or CF3; R4 = H, F, Me, CF3, or OMe; R5 = F, CF3, CF30, SO2Me, SO2NH2, or SO2NHAc; R6 = H, C1, F, Me, CF3, OMe, OCF3, SO2Me, SO2NH2, or SO2NHAc, provided that 1 of the substituents R5 or R6 = SO2Me, SO2NH2, or SO2NHAc; and R7 = H, Cl, F, Me, CF3, or OMe; including physiol. acceptable salts]. I are useful for the prevention or treatment of proliferative cell diseases. In particular, I are useful for treatment of pre-neoplastic or neoplastic processes, tumoral angiogenesis, cachexia, and processes related to tumor necrosis factor (TNF). Generally, I are useful for treating processes where there would be benefit by inhibiting the expression of the gene responsible for the synthesis of cyclooxygenase 2 (COX-2), notably in mammals, and particularly in humans. A list of 84 specific examples is provided, and a similar list of 84 compds. (1 difference) is claimed. Six examples of individual enantiomers are given, the remainder being racemic. For instance, condensation of 2,4-difluorobenzaldehyde with either CH3COCF3 (68%) or the reaction product of LiCH2PO3Et2 with PhN:C(Cl)CF3 (81%) gave (E)-1,1,1-trifluoro-4-(2,4-difluorophenyl)-3-buten-2-one.Cyclocondensation of the latter enone with 4-(H2NSO2)C6H4NHNH2.HCl gave

ΙT

61% invention compound (±)-II, which was resolved by chromatog. on CHIRALPAK AS to give (+)- and (-)-II with enantiomeric purities of 99.9% or greater. In tests against human colorectal cancer cell lines NC59 and TD20, (±)-II had IC50 values of 29.87 and 33.87  $\mu$ M, resp. I also inhibited the induction of COX-2 in JURKAT cells, were active against breast cancer cells in culture (IC50 12-18  $\mu$ M), inhibited angiogenesis (as determined by induction of expression of VEGF and TF in cell culture), and inhibited production of TNF- $\alpha$  in the air-pouch model in mice. 251443-24-0P, 1-(4-Aminosulfonylphenyl)-4,5-dihydro-5-(4-Mothylphenyl) 14 purposels 2 carbonyllic acid (251443-25-1B)

251443-24-0P, 1-(4-Aminosulfonylphenyl)-4,5-dihydro-5-(4-methylphenyl)-1H-pyrazole-3-carboxylic acid 251443-25-1P, 1-(4-Aminosulfonylphenyl)-4,5-dihydro-5-phenyl-1H-pyrazole-3-carboxylic acid 251443-26-2P, 4,5-Dihydro-5-(4-methylphenyl)-1-(4-methylsulfonylphenyl)-1H-pyrazole-3-carboxylic acid 251443-27-3P, Methyl 1-(4-aminosulfonylphenyl)-4,5-dihydro-5-(4-methylphenyl)-1H-pyrazole-3-carboxylate 251443-28-4P, Methyl 1-(4-aminosulfonylphenyl)-4,5-dihydro-5-phenyl-1H-pyrazole-3-carboxylate 251443-29-5P, Methyl 4,5-dihydro-5-(4-methylphenyl)-1-(4-methylsulfonylphenyl)-1H-pyrazole-3-carboxylate RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation and use of pyrazoline derivs. as COX-2 gene expression inhibitors for prevention and/or treatment of proliferative cell diseases)

RN 251443-24-0 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-(4-methylphenyl)- (CA INDEX NAME)

RN 251443-25-1 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-phenyl- (CA INDEX NAME)

$$\begin{array}{c|c} O & O \\ \parallel & S - NH_2 \\ \hline \\ Ph & O \end{array}$$

RN 251443-26-2 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 4,5-dihydro-5-(4-methylphenyl)-1-[4-(methylsulfonyl)phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ S - Me \\ O & \\ \end{array}$$

RN 251443-27-3 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-(4-methylphenyl)-, methyl ester (CA INDEX NAME)

RN 251443-28-4 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-phenyl-, methyl ester (CA INDEX NAME)

Page 9

$$\begin{array}{c} 0 \\ \parallel \\ \text{MeO-C} \\ \end{array}$$

RN 251443-29-5 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 4,5-dihydro-5-(4-methylphenyl)-1-[4-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)

OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS

RECORD (21 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:784081 HCAPLUS

DOCUMENT NUMBER: 132:12302

TITLE: Diarylpyrazoles as inhibitors of cyclooxygenase-2 INVENTOR(S): Cuberes-Altisent, Maria Rosa; Berrocal-Romero, Juana

Maria; Contijoch-Llobet, Maria Montserrat;

Frigola-Constansa, Jordi

PATENT ASSIGNEE(S): Laboratorios Del Esteve, S.A., Spain

SOURCE: PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Spanish

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT	NO.			KIN	D	DATE		-	APPL	ICAT	ION 1	NO.		D	ATE	
WO 9962	 2884			A1		 1999	 1209	,	WO 1	 999-:	ES15	 6		1:	9990!	527 <
W:	ΑE,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,
	DE,	DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,
	JP,	ΚE,	KG,	ΚP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,

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      B1 20040428

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PRIORITY APPLN. INFO.:
                                                                 A 19980529
                                             WO 1999-ES156 W 19980529 W 1999-ES156 W 19990527
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
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OTHER SOURCE(S): MARPAT 132:12302 GΙ

RN

CN

Ι

Diarylpyrazoles I [R1 = H, Me, CH2F, CHF2, CF3, CO2H, alkoxycarbonyl, carbamoyl, CN; R2 = H, Me; R3, R4, R7, R8 = H, C1, F, Me, CF3, OMe; R5 = H, C1, F, Me, CF3, OMe, OCF3, R6 = SO2Me, SO2NH2, SO2NHAc; R5 = SO2Me, SO2NH2, SO2NHAc, R6 = H, C1, F, Me, CF3, OMe, OCF3] were prepared for use in treating inflammation and other processes mediated by COX-2. Thus, 2,4-F2C6H3CHO was treated with CF3COMe to give (E)-2,4-F2C6H3CH:CHCOCF3 which was cyclized with 4-H2NSO2C6H4NHNH2 to give I [R1 = CF3, R2-R4, R7, R8 = H, R5 = SO2Me, R6 = Me] which gave 92% inhibition of COX-2 activity at 40 mg/kg orally in rats.

IT 251443-24-0P 251443-26-2P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
USES (Uses)

(preparation of diarylpyrazoles as inhibitors of cyclooxygenase-2) 251443-24-0 HCAPLUS

1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-(4-methylphenyl)- (CA INDEX NAME)

RN 251443-26-2 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 4,5-dihydro-5-(4-methylphenyl)-1-[4-(methylsulfonyl)phenyl]- (CA INDEX NAME)

IT 251443-25-1P 251443-27-3P 251443-28-4P 251443-29-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylpyrazoles as inhibitors of cyclooxygenase-2)

RN 251443-25-1 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-phenyl- (CA INDEX NAME)

RN 251443-27-3 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-(4-methylphenyl)-, methyl ester (CA INDEX NAME)

RN 251443-28-4 HCAPLUS

1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-CN phenyl-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ \parallel & S - NH_2 \\ \hline MeO-C & N & O \\ \end{array}$$

RN 251443-29-5 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 4,5-dihydro-5-(4-methylphenyl)-1-[4-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)

THERE ARE 24 CAPLUS RECORDS THAT CITE THIS OS.CITING REF COUNT: 24

RECORD (25 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2010 ACS on STN L6

ACCESSION NUMBER: 1991:492261 HCAPLUS

DOCUMENT NUMBER: 115:92261

ORIGINAL REFERENCE NO.: 115:15883a,15886a

TITLE: Preparation of 1-phenylpyrazoline-3-carboxylates as

herbicide safeners

INVENTOR(S): Roesch, Wolfgang; Sohn, Erich; Bauer, Klaus;

Bieringer, Hermann

Hoechst A.-G., Germany PATENT ASSIGNEE(S): SOURCE: Ger. Offen., 12 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

					D DATE	APPLICATION NO.			
DE	3939503			A1	19910606	DE 1989-3939503		19891130	<
WO	9107874			A1	19910613	WO 1990-EP2020		19901126	<
	W: AU,	CA,	HU,	JP,	KR, SU, US				
						GB, GR, IT, LU, NL,			
AU	9168863			Α	19910626	AU 1991-68863		19901126	<
AU	653506			В2	19941006				
HU	60593			A2	19921026 20010129 19930527 20000918	HU 1992-1797		19901126	<
HU	218970			В	20010129				
JP	05503086	ô		T	19930527	JP 1991-500106		19901126	<
JP	3088456			В2	20000918				
EP	635996			A1	19950201	EP 1990-917518		19901126	<
EP	635996			В1	19980211				
	R: AT,	BE,	CH,	DE,	DK, ES, FR,	GB, GR, IT, LI, NL,	SE		
AT	163124			T	19980215	AT 1990-917518 ES 1990-917518		19901126	<
ES	2114862			Т3	19980616	ES 1990-917518		19901126	<
HU	218970			В	20010129	HU 1997-92017		19901126	<
CA	2069901			С	20011030	ES 1990-917518 HU 1997-92017 CA 1990-2069901 RU 1990-5052227 IL 1990-96496 CN 1990-109551		19901126	<
RU	2228619			C2	20040520	RU 1990-5052227		19901126	<
IL	96496			Α	19941229	IL 1990-96496		19901128	<
CN	1052115			7.7	10012	CN 1990-109551		19901129	<
CN	1051078			С	20000405				
	9009591			А	19910925				
LV	10359			В	19960220	LV 1993-307		19930507	<
LT	3372				19950825	LT 1993-711 US 1995-468850		19930625	<
US	5700758			Α	19971223				<
US	5703008			Α	19971230			19950607	
RIORIT	Y APPLN.	INFO	.:			DE 1989-3939503	A	19891130	
						WO 1990-EP2020		19901126	
						US 1992-848998	В3	19920421	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 115:92261
GI

AB The title compds. [I; X = halo, haloalkyl; n = 1-3; R1 = H, alkyl, cycloalkyl, trialkylsilyl, trialkylsilylmethyl, alkoxyalkyl; R2, R3 = H, alkyl, cycloalkyl, alkenyl, alkynyl, haloalkyl, alkoxyalkyl, hydroxyalkyl, alkoxycarbonyl, alkylcarbonyl, alkylaminocarbonyl, halo, cyano, (substituted) Ph; R2R3 = atoms to form a ring], were prepared Thus, methacrylonitrile and Et3N at 70° were treated with Et 2-chloroglyoxalate 2,3-dichlorophenylhydrazone in dimethoxy ethane over 0.5 h; the mixture was stirred 4 h at 80° to give title compound II. II at 1.25 kg/ha reduced damage to wheat caused by 2.0 kg/ha Et feroxaprop from 70% to 30%. Other I gave complete protection.

Page 15

10589743.trn 07/15/2010

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as herbicide safener)

RN 135590-92-0 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(2,4-dichlorophenyl)-4,5-dihydro-5-methyl-5-phenyl-, ethyl ester (CA INDEX NAME)

EtO-C N Ph Cl

OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD

(9 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1989:75489 HCAPLUS

DOCUMENT NUMBER: 110:75489

ORIGINAL REFERENCE NO.: 110:12477a,12480a TITLE: Preparation of

N,1-diphenyl-2-pyrazoline-3-carboxamides as

insecticides

INVENTOR(S):
Stevenson, Thomas Martin

PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA

SOURCE: PCT Int. Appl., 147 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA.	TENT NO.			KINI	D DATE	APPLICATION NO.		DATE
WO	8806583 W: AU,	BR,			19880907	WO 1987-US3235		19871214 <
	RW: AT,	BE,	CH,	DE,	FR, GB, IT,	LU, NL, SE		
AU	8811544			Α	19880926	AU 1988-11544		19871214 <
AU	598633			В2	19900628			
JP	01502513			T	19890831	JP 1988-501073		19871214 <
JP	05081591			В	19931115			
EP	330678			A1	19890906	EP 1988-900910		19871214 <
EP	330678			В1	19901024			
	R: AT,	BE,	CH,	DE,	FR, GB, IT,	LI, LU, NL, SE		
BR						BR 1987-7672		19871214 <
AT	57690			T	19901115	AT 1988-900910		19871214 <
ES	2008408			A6	19890716	ES 1988-6		19880104 <
CN	88100104			A	19880720	CN 1988-100104		19880105 <
ZA	8800040			A	19890927	ZA 1988-40		19880105 <
PRIORIT	Y APPLN.	INFO.	. :			US 1987-326	A	19870105
						US 1987-113530	A	19871028
						EP 1988-900910	А	19871214

WO 1987-US3235 A 19871214

OTHER SOURCE(S): MARPAT 110:75489

GΙ

CONH

AB The title compds. [I; A = H, alkyl, (un)substituted Ph; B = H, alkenyl, alkynyl, alkoxycarbonyl, (un)substituted alkyl, Ph; X = O, S; X1, X2 = (un)substituted Ph; Y = H, alkyl, alkoxyalkyl, alkylthio, haloalkylthio, (un)substituted PhS] were prepared 4-ClC6H4NH2 was diazotized and the resulting solution added to MeCOCHClCO2Et in EtOH containing NaOAc to give, after

III

2 h stirring, 4-ClC6H4NHN:CClC02Et which was refluxed with 4-ClC6H4CH:CH2 in benzene containing Et3N to give pyrazolinecarboxylate II (R = Et0). The latter was converted in 2 steps to II (R = Cl) which was stirred 18 h with 4-F3CC6H4NH2 to give II (R = 4-F3CC6H4NH), which gave  $\geq$ 80% kill of fall armyworm larvae sprayed in cups at 0.5 lb./acre.

IT 118010-70-1P 118010-85-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of insecticides)

RN 118010-70-1 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro-, ethyl ester (CA INDEX NAME)

RN 118010-85-8 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro-5methyl-, ethyl ester (CA INDEX NAME)

ΙT 118010-64-3P 118010-65-4P 118010-66-5P 118010-68-7P 118010-69-8P 118010-70-1P 118010-71-2P 118010-72-3P 118010-73-4P 118010-74-5P 118010-75-6P 118010-76-7P 118010-77-8P 118010-78-9P 118010-79-0P 118010-80-3P 118010-81-4P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as insecticide)

118010-64-3 HCAPLUS RN

1H-Pyrazole-3-carboxylic acid, 5-(4-chlorophenyl)-1-(4-fluorophenyl)-4,5-CN dihydro- (CA INDEX NAME)

RN 118010-65-4 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-(4-cyanophenyl)-1-(4-fluorophenyl)-4,5-dihydro- (CA INDEX NAME)

RN 118010-66-5 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(4-fluorophenyl)-4,5-dihydro- (CA INDEX NAME)

RN 118010-68-7 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(3,4-difluorophenyl)-4,5-dihydro- (CA INDEX NAME)

RN 118010-69-8 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro-, methyl ester (CA INDEX NAME)

RN 118010-70-1 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro-, ethyl ester (CA INDEX NAME)

RN 118010-71-2 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(4-fluorophenyl)-4,5-dihydro-, methyl ester (CA INDEX NAME)

RN 118010-72-3 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(4-cyanophenyl)-4,5-dihydro-, methyl ester (CA INDEX NAME)

RN 118010-73-4 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-4,5-dihydro-5-[4-(trifluoromethyl)phenyl]-, methyl ester (CA INDEX NAME)

RN 118010-74-5 HCAPLUS

1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(3,4-dichlorophenyl)-CN 4,5-dihydro-, methyl ester (CA INDEX NAME)

118010-75-6 HCAPLUS RN

CN  $\label{lem:helmonth} \mbox{1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(3,4-difluorophenyl)-5-(3,4-difluorophenyl)-5-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difl$ 4,5-dihydro-, methyl ester (CA INDEX NAME)

RN 118010-76-7 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-(3-chlorophenyl)-1-(4-chlorophenyl)-4,5-dihydro-, methyl ester (CA INDEX NAME)

RN 118010-77-8 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro-5-methyl-, methyl ester (CA INDEX NAME)

RN 118010-78-9 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-(4-chlorophenyl)-1-(4-fluorophenyl)-4,5-

dihydro-, methyl ester (CA INDEX NAME)

118010-79-0 HCAPLUS RN

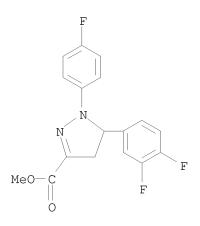
1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-fluorophenyl)-4,5-dihydro-, methyl ester (CA INDEX NAME) CN

118010-80-3 HCAPLUS RN

1H-Pyrazole-3-carboxylic acid, 5-(4-cyanophenyl)-1-(4-fluorophenyl)-4,5-CN dihydro-, methyl ester (CA INDEX NAME)

RN 118010-81-4 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-(3,4-difluorophenyl)-1-(4-fluorophenyl)-4,5-dihydro-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

L6 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1989:23882 HCAPLUS

DOCUMENT NUMBER: 110:23882

ORIGINAL REFERENCE NO.: 110:4041a,4044a

TITLE: Insecticidal pyrazolinecarboxanilidess, and their

compositions and use in insect control

INVENTOR(S):
Stevenson, Thomas Martin

PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA

SOURCE: PCT Int. Appl., 145 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 880	5046	A2	19880714	WO 1988-US1		19880104	<
WO 880	5046	A3	19880811				
W	SD, US						
EP 330	1678	A1	19890906	EP 1988-900910		19871214	<
EP 330	1678	B1	19901024				
R	AT, BE, C	H, DE, F	R, GB, IT,	LI, LU, NL, SE			
ES 200	8408	A6	19890716	ES 1988-6		19880104	<
CN 883	.00104	A	19880720	CN 1988-100104		19880105	<
ZA 880	00040	A	19890927	ZA 1988-40		19880105	<
US 509	1405	A	19920225	US 1989-378529		19890512	<
PRIORITY A	PPLN. INFO.:			US 1987-326	A1	19870105	
				US 1987-113530	A1	19871028	
				WO 1988-US1	W	19880104	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 110:23882

$$R^{2}N$$
 $R^{2}N$ 
 $R$ 

AB The title compds. [I; R1 = substituted Ph; R2 = (un) substituted Ph; X = O, S; Y = H, alkyl, alkoxyalkyl, alkylthio, haloalkylthio, alkoxycarbonyl, CHO, alkanoyl, haloalkanoyl, (un) substituted PhS; A = H, alkyl, cyano, CO2R3, COR3, CONR3R4, CSNR3R4, C(S)R3, CS2R3, (un)substituted Ph; B = H, alkyl, haloalkyl, alkoxyalkyl, cyanoalkyl, alkoxycarbonylalkyl, alkenyl, alkynyl, alkoxycarbonyl, (un) substituted Ph, PhCH2; R3 = (halo) alkyl, (halo)alkenyl, (halo)alkynyl, alkoxyalkyl, alkylthioalkyl, nitroalkyl, cyanoalkyl, alkoxycarbonylalkyl, (halo)cycloalkyl, (un)substituted Ph, PhCH2; R4 = H, alkyl; R3R4 = (CH2)4, (CH2)5, CH2CH2OCH2CH2] are prepared as insecticides. Reaction of 4-ClC6H4NHN:CClC02Et (preparation given) with 4-ClC6H4CH:CH2 via formation and dipolar cycloaddn. of a nitrile-imine (Et3N in C6H6) gave Et 1,5-bis(4-chlorophenyl)-4,5-dihydro-1H-pyrazole-3carboxylate, which was saponified, converted to the acid chloride, amidated with 4-H2NC6H4CF3 to give pyrazolinecarboxanilide II. A formulation contained 10% II on attapulgite granules. As a spray at 0.55 kg/ha II gave ≥80% kill of Spodoptera frugiperda larvae.

IT 118010-87-0P 118010-91-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and conversion of, to acid chloride)

RN 118010-87-0 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro- (CA INDEX NAME)

RN 118010-91-6 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(3,4-dichlorophenyl)-5-(4-fluorophenyl)-4,5-dihydro- (CA INDEX NAME)

IT 118010-85-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and saponification and amidation of)

RN 118010-85-8 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro-5-methyl-, ethyl ester (CA INDEX NAME)

IT 118010-70-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and saponification of)

RN 118010-70-1 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro-, ethyl ester (CA INDEX NAME)

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as insecticide)

RN 118010-64-3 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-(4-chlorophenyl)-1-(4-fluorophenyl)-4,5-dihydro- (CA INDEX NAME)

RN 118010-65-4 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-(4-cyanophenyl)-1-(4-fluorophenyl)-4,5-dihydro- (CA INDEX NAME)

RN 118010-66-5 HCAPLUS CN 1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(4-fluorophenyl)-4,5-dihydro- (CA INDEX NAME)

RN 118010-68-7 HCAPLUS
CN 1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(3,4-difluorophenyl)-4,5-dihydro- (CA INDEX NAME)

RN 118010-69-8 HCAPLUS CN 1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro-, methyl ester (CA INDEX NAME)

118010-70-1 HCAPLUS RN

1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro-, ethyl CN ester (CA INDEX NAME)

RN 118010-71-2 HCAPLUS

1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(4-fluorophenyl)-4,5-CN dihydro-, methyl ester (CA INDEX NAME)

RN 118010-72-3 HCAPLUS

1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(4-cyanophenyl)-4,5-CN dihydro-, methyl ester (CA INDEX NAME)

118010-73-4 HCAPLUS RN

CN  $\ \, 1 \\ H-Pyrazole-3-carboxylic\ acid,\ 1-(4-chlorophenyl)-4,5-dihydro-5-[4-chlorophenyl] \\ +(4-chlorophenyl)-4,5-dihydro-5-[4-chlorophenyl] \\ +(4-chloroph$ (trifluoromethyl)phenyl]-, methyl ester (CA INDEX NAME)

RN 118010-74-5 HCAPLUS

1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(3,4-dichlorophenyl)-CN 4,5-dihydro-, methyl ester (CA INDEX NAME)

118010-75-6 HCAPLUS RN

CN  $\label{lem:helmonth} \mbox{1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(3,4-difluorophenyl)-5-(3,4-difluorophenyl)-5-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difl$ 4,5-dihydro-, methyl ester (CA INDEX NAME)

RN 118010-76-7 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-(3-chlorophenyl)-1-(4-chlorophenyl)-4,5-dihydro-, methyl ester (CA INDEX NAME)

RN 118010-77-8 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro-5-methyl-, methyl ester (CA INDEX NAME)

RN 118010-78-9 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-(4-chlorophenyl)-1-(4-fluorophenyl)-4,5-

dihydro-, methyl ester (CA INDEX NAME)

118010-79-0 HCAPLUS RN

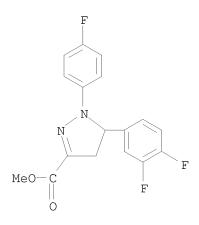
1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-fluorophenyl)-4,5-dihydro-, methyl ester (CA INDEX NAME) CN

118010-80-3 HCAPLUS RN

1H-Pyrazole-3-carboxylic acid, 5-(4-cyanophenyl)-1-(4-fluorophenyl)-4,5-CN dihydro-, methyl ester (CA INDEX NAME)

RN 118010-81-4 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-(3,4-difluorophenyl)-1-(4-fluorophenyl)-4,5-dihydro-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (28 CITINGS)

=> d 19 ibib abs hitstr tot

L9 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:793411 HCAPLUS

DOCUMENT NUMBER: 137:310911

TITLE: Utilization of pyrazoline derivatives, as inhibitors

of the expression of the gene responsible for COX-2 synthesis, in the preparation of a medicament for the

prevention and/or treatment of proliferative cell

diseases

INVENTOR(S): Cuberes-Altisent, Maria Rosa; Berrocal-Romero, Juana

Maria; Contijoch-Llobet, Maria Montserrat;

Frigola-Constansa, Jordi

PATENT ASSIGNEE(S): Laboratorios del Esteve, S.A., Spain

SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Spanish

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2002080909 W: AE, AG CO, CR GM, HR LS, LT PL, PT	A1 20021017  AL, AM, AT, AU, AZ,  CU, CZ, DE, DK, DM,  HU, ID, IL, IN, IS,  LU, LV, MA, MD, MG,  RO, RU, SD, SE, SG,  US, UZ, VN, YU, ZA,	WO 2002-ES137 BA, BB, BG, BR, BY, DZ, EC, EE, ES, FI, JP, KE, KG, KP, KR, MK, MN, MW, MX, MZ, SI, SK, SL, TJ, TM,	20020321 < BZ, CA, CH, CN, GB, GD, GE, GH, KZ, LC, LK, LR, NO, NZ, OM, PH,
RW: GH, GM CY, DE	, KE, LS, MW, MZ, SD, DK, ES, FI, FR, GB, CF, CG, CI, CM, GA,	SL, SZ, TZ, UG, ZM, GR, IE, IT, LU, MC, GN, GQ, GW, ML, MR,	NL, PT, SE, TR, NE, SN, TD, TG
ES 2174757 ES 2174757 CA 2442974	B1 20031101	ES 2001-818  CA 2002-2442974	
CA 2442974 CA 2442974 AU 2002246152	C 20100223		20020321 <
AU 2002246152 EP 1384477	B2 20070531 A1 20040128	EP 2002-714233	
	B1 20060524 , CH, DE, DK, ES, FR, , LT, LV, FI, RO, MK,		NL, SE, MC, PT,
CN 1509171 CN 1299682	A 20040630 C 20070214	CN 2002-809893	20020321 <
HU 2004000918	A 20040713 A2 20040728 A3 20041028	BR 2002-8805 HU 2004-918	
JP 2004525166 JP 4451599	T 20040819 B2 20100414	JP 2002-578948	20020321 <
ZA 2003008626 EP 1516621 EP 1516621	A 20041105 A2 20050323 A3 20050504		20020321 < 20020321
	CH, DE, DK, ES, FR, FI, RO, CY, TR A 20051123		NL, SE, MC, PT, 20020321
AT 326966 PT 1384477 ES 2264723	A 20060224 T 20060615 E 20060929 T3 20070116	NZ 2002-529304 AT 2002-714233 PT 2002-714233	20020321 20020321 20020321 20020321 20020321
US 20040034082 NO 2003004470 MX 2003009124 HK 1067311	A1 20040219	US 2002-312193 NO 2003-4470 MX 2003-9124 HK 2004-110341	20021217 < 20031006 < 20031006 20041230
PRIORITY APPLN. INFO	).:	ES 2001-818 CN 2002-809893 EP 2002-714233 WO 2002-ES137	A 20010406 A3 20020321 A3 20020321 W 20020321
OTHER SOURCE(S):	MARPAT 137:31091		

GΙ

$$R^{4}$$
 $R^{3}$ 
 $R^{2}$ 
 $R^{8}$ 
 $R^{7}$ 
 $R^{6}$ 
 $R^{7}$ 
 $R^{6}$ 
 $R^{7}$ 
 $R^{7}$ 
 $R^{8}$ 
 $R^{7}$ 
 $R^{8}$ 
 $R^{7}$ 
 $R^{7}$ 
 $R^{1}$ 
 $R^{7}$ 
 $R^{7$ 

AΒ The invention relates to pyrazoline derivs. I [wherein R1 = H, Me, CH2F, CHF2, CF3, CO2H, C1-4 alkoxycarbonyl, CONH2, or cyano; R2 = H or Me; R3, R4, R7, R8 = H, C1, F, Me, CF3, or OMe; R5, R6 = H, C1, F, Me, CF3, OMe, OCF3, SO2Me, SO2NH2, or SO2NHAc, provided that 1 of R5 or R6 = SO2Me, SO2NH2, or SO2NHAc, and provided that if R1 = Me, then: R2 = H or Me; R3 and R8 = H, C1, F, Me, or CF3; R4 = H, F, Me, CF3, or OMe; R5 = F, CF3, CF30, SO2Me, SO2NH2, or SO2NHAc; R6 = H, Cl, F, Me, CF3, OMe, OCF3, SO2Me, SO2NH2, or SO2NHAc, provided that 1 of the substituents R5 or R6 = SO2Me, SO2NH2, or SO2NHAC; and R7 = H, C1, F, Me, CF3, or OMe; including physiol. acceptable salts]. I are useful for the prevention or treatment of proliferative cell diseases. In particular, I are useful for treatment of pre-neoplastic or neoplastic processes, tumoral angiogenesis, cachexia, and processes related to tumor necrosis factor (TNF). Generally, I are useful for treating processes where there would be benefit by inhibiting the expression of the gene responsible for the synthesis of cyclooxygenase 2 (COX-2), notably in mammals, and particularly in humans. A list of 84 specific examples is provided, and a similar list of 84 compds. (1 difference) is claimed. Six examples of individual enantiomers are given, the remainder being racemic. For instance, condensation of 2,4-difluorobenzaldehyde with either CH3COCF3 (68%) or the reaction product of LiCH2PO3Et2 with PhN:C(Cl)CF3 (81%) gave (E)-1,1,1-trifluoro-4-(2,4-difluorophenyl)-3-buten-2-one.Cyclocondensation of the latter enone with 4-(H2NSO2)C6H4NHNH2.HCl gave 61% invention compound  $(\pm)$ -II, which was resolved by chromatog. on CHIRALPAK AS to give (+)- and (-)-II with enantiomeric purities of 99.9% or greater. In tests against human colorectal cancer cell lines NC59 and TD20,  $(\pm)$ -II had IC50 values of 29.87 and 33.87  $\mu$ M, resp. I also inhibited the induction of COX-2 in JURKAT cells, were active against breast cancer cells in culture (IC50 12-18  $\mu$ M), inhibited angiogenesis (as determined by induction of expression of VEGF and TF in cell culture), and inhibited production of  $TNF-\alpha$  in the air-pouch model in mice. 251443-24-0P, 1-(4-Aminosulfonylphenyl)-4,5-dihydro-5-(4-Aminosulfonylphenyl)methylphenyl)-1H-pyrazole-3-carboxylic acid 251443-25-1P, 1-(4-Aminosulfonylphenyl)-4,5-dihydro-5-phenyl-1H-pyrazole-3-carboxylic 251443-26-2P, 4,5-Dihydro-5-(4-methylphenyl)-1-(4acid methylsulfonylphenyl)-1H-pyrazole-3-carboxylic acid 251443-27-3P , Methyl 1-(4-aminosulfonylphenyl)-4,5-dihydro-5-(4-methylphenyl)-1Hpyrazole-3-carboxylate 251443-28-4P, Methyl

1-(4-aminosulfonylphenyl)-4,5-dihydro-5-phenyl-1H-pyrazole-3-carboxylate 251443-29-5P, Methyl 4,5-dihydro-5-(4-methylphenyl)-1-(4-

methylsulfonylphenyl)-1H-pyrazole-3-carboxylate

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(drug candidate; preparation and use of pyrazoline derivs. as COX-2 gene expression inhibitors for prevention and/or treatment of proliferative cell diseases)

RN 251443-24-0 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-(4-methylphenyl) - (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ S & NH_2 \\ \hline \\ N & O \\ \end{array}$$

251443-25-1 HCAPLUS RN

1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-CN phenyl- (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ \parallel & \\ S-NH_2 \\ \hline \\ Ph \end{array}$$

251443-26-2 HCAPLUS RN

CN 1H-Pyrazole-3-carboxylic acid, 4,5-dihydro-5-(4-methylphenyl)-1-[4-(methylsulfonyl)phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O \\ S - Me \\ O \\ \end{array}$$

RN 251443-27-3 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-(4-methylphenyl)-, methyl ester (CA INDEX NAME)

RN 251443-28-4 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-phenyl-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} O & & & O \\ \parallel & & & \\ MeO-C & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 251443-29-5 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 4,5-dihydro-5-(4-methylphenyl)-1-[4-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)

OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS

RECORD (21 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:784081 HCAPLUS

DOCUMENT NUMBER: 132:12302

TITLE: Diarylpyrazoles as inhibitors of cyclooxygenase-2 INVENTOR(S): Cuberes-Altisent, Maria Rosa; Berrocal-Romero, Juana

Maria; Contijoch-Llobet, Maria Montserrat;

Frigola-Constansa, Jordi

PATENT ASSIGNEE(S): Laboratorios Del Esteve, S.A., Spain

SOURCE: PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Spanish

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIND DATE			APPLICATION NO.				DATE						
WO	9962	884			A1		1999	1209		WO 1	999-:	ES15	6		19990527 <		
										BG,							
		DE,	DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,
		JP,	KΕ,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,
		MN,	MW,	MX,	NO,	NΖ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,
					•	•	•		•	YU,							
	RW:									UG,							
		•						•		MC,	•	•	SE,	BF,	ВJ,	CF,	CG,
		•	•						•	SN,	•						
	2137									ES 1	998-	1129			1	9980	529 <
ES	2137	138			В1		2000	0916									
CA	2333									CA 1	999-	2333	475		1	9990	527 <
CA	2333	475			С		2009	1208									
AU	9939	329			Α		1999	1220		AU 1	999	3932	9		1	9990.	527 <
ΑU	7520	01			В2		2002	0905									
EΡ	1083	171			A1		2001	0314		EP 1	999-	9221	92		1	9990.	527 <
ΕP	1083	171			В1		2004	0428									
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	FΙ														
BR	9910	801			А		2001	1127		BR 1	999-	1080	1		1	9990	527 <

SI	20580	A	20011231	SI	1999-20042		19990527	<
HU	2001002102	A2	20020328	HU	2001-2102		19990527	<
HU	2001002102	A3	20020628					
JP	2002516908	T	20020611	JΡ	2000-552096		19990527	<
NZ	508990	A	20021220	NZ	1999-508990		19990527	<
TW	572898	В	20040121	TW	1999-88108709		19990527	<
AT	265437	T	20040515	ΑT	1999-922192		19990527	<
RU	2233272	C2	20040727	RU	2000-133231		19990527	<
PT	1083171	E	20040930	PΤ	1999-922192		19990527	<
ES	2221382	T3	20041216	ES	1999-922192		19990527	<
CN	1189459	С	20050216	CN	1999-808111		19990527	
SK	285550	В6	20070301	SK	2000-1807		19990527	
CZ	298391	В6	20070919	CZ	2000-4418		19990527	
NO	2000006029	A	20010126	ИО	2000-6029		20001128	<
BG	105005	A	20010831	ВG	2000-105005		20001128	<
BG	64950	B1	20061031					
LT	4879	В	20020125	LT	2000-108		20001128	<
US	6353117	B1	20020305	US	2000-701276		20001128	<
US	38963	E1	20060131	US	2000-229880		20001128	<
MX	2000011839	A	20010521	MX	2000-11839		20001129	<
IN	216904	A1	20080321	ΙN	2000-CN668		20001217	
ZA	2000007638	A	20011113	ZA	2000-7638		20001219	<
IN	2000KN00668	A	20050311	ΙN	2000-KN668		20001227	
LV	12632	В	20010720	LV	2000-161		20001228	<
PRIORIT	Y APPLN. INFO.:			ES	1998-1129	Α	19980529	
				WO	1999-ES156	W	19990527	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 132:12302
GI

Diarylpyrazoles I [R1 = H, Me, CH2F, CHF2, CF3, CO2H, alkoxycarbonyl, carbamoyl, CN; R2 = H, Me; R3, R4, R7, R8 = H, C1, F, Me, CF3, OMe; R5 = H, C1, F, Me, CF3, OMe, OCF3, R6 = SO2Me, SO2NH2, SO2NHAc; R5 = SO2Me, SO2NH2, SO2NHAc, R6 = H, C1, F, Me, CF3, OMe, OCF3] were prepared for use in treating inflammation and other processes mediated by COX-2. Thus, 2,4-F2C6H3CHO was treated with CF3COMe to give (E)-2,4-F2C6H3CH:CHCOCF3 which was cyclized with 4-H2NSO2C6H4NHNH2 to give I [R1 = CF3, R2-R4, R7, R8 = H, R5 = SO2Me, R6 = Me] which gave 92% inhibition of COX-2 activity at 40 mg/kg orally in rats.

II 251443-24-0P 251443-26-2P

Page 41

10589743.trn 07/15/2010

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of diarylpyrazoles as inhibitors of cyclooxygenase-2)  $\rm RN~~251443-24-0~~HCAPLUS$ 

CN 1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-(4-methylphenyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 251443-26-2 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 4,5-dihydro-5-(4-methylphenyl)-1-[4-(methylsulfonyl)phenyl]- (CA INDEX NAME)

IT 251443-25-1P 251443-27-3P 251443-28-4P 251443-29-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylpyrazoles as inhibitors of cyclooxygenase-2)

RN 251443-25-1 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-phenyl- (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ \parallel & \\ S-NH_2 \\ \hline \\ Ph \end{array}$$

RN 251443-27-3 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-(4-methylphenyl)-, methyl ester (CA INDEX NAME)

RN 251443-28-4 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-phenyl-, methyl ester (CA INDEX NAME)

RN 251443-29-5 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 4,5-dihydro-5-(4-methylphenyl)-1-[4-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)

OS.CITING REF COUNT: 24 THERE ARE 24 CAPLUS RECORDS THAT CITE THIS

RECORD (25 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1991:492261 HCAPLUS

DOCUMENT NUMBER: 115:92261

ORIGINAL REFERENCE NO.: 115:15883a,15886a

TITLE: Preparation of 1-phenylpyrazoline-3-carboxylates as

herbicide safeners

INVENTOR(S): Roesch, Wolfgang; Sohn, Erich; Bauer, Klaus;

Bieringer, Hermann

PATENT ASSIGNEE(S): Hoechst A.-G., Germany SOURCE: Ger. Offen., 12 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: Facenc

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.		KIN:		APPLICATION NO.		DATE	
DE 3939503 WO 9107874		A1 A1	19910606 19910613	DE 1989-3939503 WO 1990-EP2020		19891130 19901126	
W: AU RW: AT			KR, SU, US DK, ES, FR,	GB, GR, IT, LU, NL,	SE		
AU 9168863 AU 653506		A B2		AU 1991-68863	:	19901126	<
HU 60593		A2		HU 1992-1797		19901126	<
HU 218970 JP 0550308	õ	T	19930527	JP 1991-500106		19901126	<
JP 3088456 EP 635996			19950201	EP 1990-917518		19901126	<
	BE,			GB, GR, IT, LI, NL,			
AT 163124 ES 2114862		_	19980215 19980616	AT 1990-917518 ES 1990-917518		19901126 19901126	
HU 218970		В	20010129	HU 1997-92017		19901126	<
CA 2069901 RU 2228619		C C2	20011030 20040520			19901126 19901126	

IL 96496	A	19941229	IL 1990-96496		19901128 <
CN 1052115	A	19910612	CN 1990-109551		19901129 <
CN 1051078	С	20000405			
ZA 9009591	А	19910925	ZA 1990-9591		19901129 <
LV 10359	В	19960220	LV 1993-307		19930507 <
LT 3372	В	19950825	LT 1993-711		19930625 <
US 5700758	A	19971223	US 1995-468850		19950606 <
US 5703008	Α	19971230	US 1995-476065		19950607 <
PRIORITY APPLN. INFO.:			DE 1989-3939503	A	19891130
			WO 1990-EP2020	Α	19901126
			US 1992-848998	В3	19920421

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 115:92261
GI

- The title compds. [I; X = halo, haloalkyl; n = 1-3; R1 = H, alkyl, cycloalkyl, trialkylsilyl, trialkylsilylmethyl, alkoxyalkyl; R2, R3 = H, alkyl, cycloalkyl, alkenyl, alkynyl, haloalkyl, alkoxyalkyl, hydroxyalkyl, alkoxycarbonyl, alkylcarbonyl, alkylaminocarbonyl, halo, cyano, (substituted) Ph; R2R3 = atoms to form a ring], were prepared Thus, methacrylonitrile and Et3N at 70° were treated with Et 2-chloroglyoxalate 2,3-dichlorophenylhydrazone in dimethoxy ethane over 0.5 h; the mixture was stirred 4 h at 80° to give title compound II. II at 1.25 kg/ha reduced damage to wheat caused by 2.0 kg/ha Et feroxaprop from 70% to 30%. Other I gave complete protection.
  - RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as herbicide safener)
- RN 135590-92-0 HCAPLUS
- CN 1H-Pyrazole-3-carboxylic acid, 1-(2,4-dichlorophenyl)-4,5-dihydro-5-methyl-5-phenyl-, ethyl ester (CA INDEX NAME)

OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1989:23882 HCAPLUS

DOCUMENT NUMBER: 110:23882
ORIGINAL REFERENCE NO.: 110:4041a,4044a

TITLE: Insecticidal pyrazolinecarboxanilidess, and their

compositions and use in insect control

INVENTOR(S): Stevenson, Thomas Martin

PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA

SOURCE: PCT Int. Appl., 145 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

	PA'	TENT	NO.			KINI	)	DATE		AP	PLICATION	1 ИО.		DATE	
	WO	8805	046			A2	_	1988	0714	WO	1988-US1	- <i></i>	_	19880104	<
	WO	8805	046			АЗ		1988	0811						
		W:	SD,	US											
	EP	3306	78			A1		1989	0906	EP	1988-900	910		19871214	<
	EP	3306	78			В1		1990	1024						
		R:	ΑT,	BE,	CH,	DE,	FR,	GB,	ΙΤ,	LI, L	U, NL, SE	2			
	ES	2008	3408			Α6		1989	0716	ES	1988-6			19880104	<
	CN	8810	0104			Α		1988	0720	CN	1988-100	104		19880105	<
	ZA	8800	040			A		1989	0927	ZA	1988-40			19880105	<
	US	5091	405			A		1992	0225	US	1989-378	3529		19890512	<
PRIC	RIT	Y APF	LN.	INFO	.:					US	1987-326	5	A1	19870105	
										US	1987-113	3530	A1	19871028	
										WO	1988-US1	_	W	19880104	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 110:23882

GΙ

AB The title compds. [I; R1 = substituted Ph; R2 = (un)substituted Ph; X = 0, S; Y = H, alkyl, alkoxyalkyl, alkylthio, haloalkylthio, alkoxycarbonyl, CHO, alkanoyl, haloalkanoyl, (un)substituted PhS; A = H, alkyl, cyano, CO2R3, COR3, CONR3R4, CSNR3R4, C(S)R3, CS2R3, (un)substituted Ph; B = H, alkyl, haloalkyl, alkoxyalkyl, cyanoalkyl, alkoxycarbonylalkyl, alkenyl, alkynyl, alkoxycarbonyl, (un)substituted Ph, PhCH2; R3 = (halo)alkyl, (halo)alkenyl, (halo)alkynyl, alkoxyalkyl, alkylthioalkyl, nitroalkyl, cyanoalkyl, alkoxycarbonylalkyl, (halo)cycloalkyl, (un)substituted Ph, PhCH2; R4 = H, alkyl; R3R4 = (CH2)4, (CH2)5, CH2CH2OCH2CH2] are prepared as

insecticides. Reaction of 4-ClC6H4NHN:CClC02Et (preparation given) with 4-ClC6H4CH:CH2 via formation and dipolar cycloaddn. of a nitrile-imine (Et3N in C6H6) gave Et 1,5-bis(4-chlorophenyl)-4,5-dihydro-1H-pyrazole-3-carboxylate, which was saponified, converted to the acid chloride, amidated with 4-H2NC6H4CF3 to give pyrazolinecarboxanilide II. A formulation contained 10% II on attapulgite granules. As a spray at 0.55 kg/ha II gave  $\geq 80\%$  kill of Spodoptera frugiperda larvae.

IT 118010-87-0P 118010-91-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and conversion of, to acid chloride)

RN 118010-87-0 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro- (CA INDEX NAME)

RN 118010-91-6 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(3,4-dichlorophenyl)-5-(4-fluorophenyl)-4,5-dihydro- (CA INDEX NAME)

IT 118010-85-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and saponification and amidation of)

RN 118010-85-8 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro-5-methyl-, ethyl ester (CA INDEX NAME)

IT 118010-70-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and saponification of)

RN 118010-70-1 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro-, ethyl ester (CA INDEX NAME)

ΙT	118010-64-3P	118010-65-4P	118010-66-5P
	118010-68-7P	118010-69-8P	118010-70-1P
	118010-71-2P	118010-72-3P	118010-73-4P
	118010-74-5P	118010-75-6P	118010-76-7P
	118010-77-8P	118010-78-9P	118010-79-0P
	118010-80-3P	118010-81-4P	

118010-80-3P 118010-81-4P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as insecticide)

RN 118010-64-3 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-(4-chlorophenyl)-1-(4-fluorophenyl)-4,5-dihydro- (CA INDEX NAME)

RN 118010-65-4 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-(4-cyanophenyl)-1-(4-fluorophenyl)-4,5-dihydro- (CA INDEX NAME)

RN 118010-66-5 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(4-fluorophenyl)-4,5-dihydro- (CA INDEX NAME)

RN 118010-68-7 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(3,4-difluorophenyl)-4,5-dihydro- (CA INDEX NAME)

118010-69-8 HCAPLUS RN

CN 1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro-, methyl ester (CA INDEX NAME)

RN 118010-70-1 HCAPLUS

1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro-, ethyl CN ester (CA INDEX NAME)

RN 118010-71-2 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(4-fluorophenyl)-4,5dihydro-, methyl ester (CA INDEX NAME)

118010-72-3 HCAPLUS RN

1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(4-cyanophenyl)-4,5-CN dihydro-, methyl ester (CA INDEX NAME)

118010-73-4 HCAPLUS RN

1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-4,5-dihydro-5-[4-CN (trifluoromethyl)phenyl]-, methyl ester (CA INDEX NAME)

RN 118010-74-5 HCAPLUS

1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(3,4-dichlorophenyl)-CN 4,5-dihydro-, methyl ester (CA INDEX NAME)

118010-75-6 HCAPLUS RN

CN  $\label{lem:helmonth} \mbox{1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(3,4-difluorophenyl)-5-(3,4-difluorophenyl)-5-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difl$ 4,5-dihydro-, methyl ester (CA INDEX NAME)

RN 118010-76-7 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-(3-chlorophenyl)-1-(4-chlorophenyl)-4,5-dihydro-, methyl ester (CA INDEX NAME)

RN 118010-77-8 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro-5-methyl-, methyl ester (CA INDEX NAME)

RN 118010-78-9 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-(4-chlorophenyl)-1-(4-fluorophenyl)-4,5-

dihydro-, methyl ester (CA INDEX NAME)

118010-79-0 HCAPLUS RN

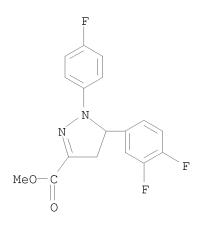
1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-fluorophenyl)-4,5-dihydro-, methyl ester (CA INDEX NAME) CN

118010-80-3 HCAPLUS RN

1H-Pyrazole-3-carboxylic acid, 5-(4-cyanophenyl)-1-(4-fluorophenyl)-4,5-CN dihydro-, methyl ester (CA INDEX NAME)

RN 118010-81-4 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-(3,4-difluorophenyl)-1-(4-fluorophenyl)-4,5-dihydro-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (28 CITINGS)

=> d l10 ibib abs hitstr tot

L10 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:793411 HCAPLUS

DOCUMENT NUMBER: 137:310911

TITLE: Utilization of pyrazoline derivatives, as inhibitors

of the expression of the gene responsible for COX-2 synthesis, in the preparation of a medicament for the

prevention and/or treatment of proliferative cell

diseases

INVENTOR(S): Cuberes-Altisent, Maria Rosa; Berrocal-Romero, Juana

Maria; Contijoch-Llobet, Maria Montserrat;

Frigola-Constansa, Jordi

PATENT ASSIGNEE(S): Laboratorios del Esteve, S.A., Spain

SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Spanish

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2002080909 W: AE, AG CO, CR GM, HR LS, LT PL, PT	A1 20021017  AL, AM, AT, AU, AZ,  CU, CZ, DE, DK, DM,  HU, ID, IL, IN, IS,  LU, LV, MA, MD, MG,  RO, RU, SD, SE, SG,  US, UZ, VN, YU, ZA,	WO 2002-ES137 BA, BB, BG, BR, BY, DZ, EC, EE, ES, FI, JP, KE, KG, KP, KR, MK, MN, MW, MX, MZ, SI, SK, SL, TJ, TM,	20020321 < BZ, CA, CH, CN, GB, GD, GE, GH, KZ, LC, LK, LR, NO, NZ, OM, PH,
RW: GH, GM CY, DE	, KE, LS, MW, MZ, SD, DK, ES, FI, FR, GB, CF, CG, CI, CM, GA,	SL, SZ, TZ, UG, ZM, GR, IE, IT, LU, MC, GN, GQ, GW, ML, MR,	NL, PT, SE, TR, NE, SN, TD, TG
ES 2174757 ES 2174757 CA 2442974	B1 20031101	ES 2001-818  CA 2002-2442974	
CA 2442974 CA 2442974 AU 2002246152	C 20100223		20020321 <
AU 2002246152 EP 1384477	B2 20070531 A1 20040128	EP 2002-714233	
	B1 20060524 , CH, DE, DK, ES, FR, , LT, LV, FI, RO, MK,		NL, SE, MC, PT,
CN 1509171 CN 1299682	A 20040630 C 20070214	CN 2002-809893	20020321 <
HU 2004000918	A 20040713 A2 20040728 A3 20041028	BR 2002-8805 HU 2004-918	
JP 2004525166 JP 4451599	T 20040819 B2 20100414	JP 2002-578948	20020321 <
ZA 2003008626 EP 1516621 EP 1516621	A 20041105 A2 20050323 A3 20050504		20020321 < 20020321
	CH, DE, DK, ES, FR, FI, RO, CY, TR A 20051123		NL, SE, MC, PT, 20020321
AT 326966 PT 1384477 ES 2264723	A 20060224 T 20060615 E 20060929 T3 20070116	NZ 2002-529304 AT 2002-714233 PT 2002-714233	20020321 20020321 20020321 20020321 20020321
US 20040034082 NO 2003004470 MX 2003009124 HK 1067311	A1 20040219	US 2002-312193 NO 2003-4470 MX 2003-9124 HK 2004-110341	20021217 < 20031006 < 20031006 20041230
PRIORITY APPLN. INFO	).:	ES 2001-818 CN 2002-809893 EP 2002-714233 WO 2002-ES137	A 20010406 A3 20020321 A3 20020321 W 20020321
OTHER SOURCE(S):	MARPAT 137:31091		

GΙ

$$R^{4}$$
 $R^{3}$ 
 $R^{2}$ 
 $R^{8}$ 
 $R^{7}$ 
 $R^{6}$ 
 $R^{7}$ 
 $R^{6}$ 
 $R^{7}$ 
 $R^{7}$ 
 $R^{8}$ 
 $R^{7}$ 
 $R^{8}$ 
 $R^{7}$ 
 $R^{7}$ 
 $R^{1}$ 
 $R^{7}$ 
 $R^{7$ 

AΒ The invention relates to pyrazoline derivs. I [wherein R1 = H, Me, CH2F, CHF2, CF3, CO2H, C1-4 alkoxycarbonyl, CONH2, or cyano; R2 = H or Me; R3, R4, R7, R8 = H, C1, F, Me, CF3, or OMe; R5, R6 = H, C1, F, Me, CF3, OMe, OCF3, SO2Me, SO2NH2, or SO2NHAc, provided that 1 of R5 or R6 = SO2Me, SO2NH2, or SO2NHAc, and provided that if R1 = Me, then: R2 = H or Me; R3 and R8 = H, C1, F, Me, or CF3; R4 = H, F, Me, CF3, or OMe; R5 = F, CF3, CF30, SO2Me, SO2NH2, or SO2NHAc; R6 = H, Cl, F, Me, CF3, OMe, OCF3, SO2Me, SO2NH2, or SO2NHAc, provided that 1 of the substituents R5 or R6 = SO2Me, SO2NH2, or SO2NHAC; and R7 = H, C1, F, Me, CF3, or OMe; including physiol. acceptable salts]. I are useful for the prevention or treatment of proliferative cell diseases. In particular, I are useful for treatment of pre-neoplastic or neoplastic processes, tumoral angiogenesis, cachexia, and processes related to tumor necrosis factor (TNF). Generally, I are useful for treating processes where there would be benefit by inhibiting the expression of the gene responsible for the synthesis of cyclooxygenase 2 (COX-2), notably in mammals, and particularly in humans. A list of 84 specific examples is provided, and a similar list of 84 compds. (1 difference) is claimed. Six examples of individual enantiomers are given, the remainder being racemic. For instance, condensation of 2,4-difluorobenzaldehyde with either CH3COCF3 (68%) or the reaction product of LiCH2PO3Et2 with PhN:C(Cl)CF3 (81%) gave (E)-1,1,1-trifluoro-4-(2,4-difluorophenyl)-3-buten-2-one.Cyclocondensation of the latter enone with 4-(H2NSO2)C6H4NHNH2.HCl gave 61% invention compound  $(\pm)$ -II, which was resolved by chromatog. on CHIRALPAK AS to give (+)- and (-)-II with enantiomeric purities of 99.9% or greater. In tests against human colorectal cancer cell lines NC59 and TD20,  $(\pm)$ -II had IC50 values of 29.87 and 33.87  $\mu$ M, resp. I also inhibited the induction of COX-2 in JURKAT cells, were active against breast cancer cells in culture (IC50 12-18  $\mu$ M), inhibited angiogenesis (as determined by induction of expression of VEGF and TF in cell culture), and inhibited production of  $TNF-\alpha$  in the air-pouch model in mice. 251443-24-0P, 1-(4-Aminosulfonylphenyl)-4,5-dihydro-5-(4-Aminosulfonylphenyl)methylphenyl)-1H-pyrazole-3-carboxylic acid 251443-25-1P, 1-(4-Aminosulfonylphenyl)-4,5-dihydro-5-phenyl-1H-pyrazole-3-carboxylic 251443-26-2P, 4,5-Dihydro-5-(4-methylphenyl)-1-(4acid methylsulfonylphenyl)-1H-pyrazole-3-carboxylic acid 251443-27-3P , Methyl 1-(4-aminosulfonylphenyl)-4,5-dihydro-5-(4-methylphenyl)-1Hpyrazole-3-carboxylate 251443-28-4P, Methyl

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1-(4-aminosulfonylphenyl)-4,5-dihydro-5-phenyl-1H-pyrazole-3-carboxylate 251443-29-5P, Methyl 4,5-dihydro-5-(4-methylphenyl)-1-(4methylsulfonylphenyl)-1H-pyrazole-3-carboxylate

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(drug candidate; preparation and use of pyrazoline derivs. as COX-2 gene expression inhibitors for prevention and/or treatment of proliferative cell diseases)

RN 251443-24-0 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-(4-methylphenyl) - (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ S & NH_2 \\ \hline \\ N & O \\ \end{array}$$

251443-25-1 HCAPLUS RN

1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-CN phenyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{HO}_2\text{C} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

251443-26-2 HCAPLUS RN

CN 1H-Pyrazole-3-carboxylic acid, 4,5-dihydro-5-(4-methylphenyl)-1-[4-(methylsulfonyl)phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O \\ S - Me \\ O \\ \end{array}$$

RN 251443-27-3 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-(4-methylphenyl)-, methyl ester (CA INDEX NAME)

RN 251443-28-4 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-phenyl-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} O & & & O \\ \parallel & & \\ MeO-C & & N & \\ & & & \\ \end{array}$$

RN 251443-29-5 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 4,5-dihydro-5-(4-methylphenyl)-1-[4-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)

THERE ARE 21 CAPLUS RECORDS THAT CITE THIS OS.CITING REF COUNT: 21

RECORD (21 CITINGS)

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 2 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2010 ACS on STN

1999:784081 HCAPLUS ACCESSION NUMBER:

132:12302 DOCUMENT NUMBER:

Diarylpyrazoles as inhibitors of cyclooxygenase-2 TITLE: INVENTOR(S): Cuberes-Altisent, Maria Rosa; Berrocal-Romero, Juana

Maria; Contijoch-Llobet, Maria Montserrat;

Frigola-Constansa, Jordi

PATENT ASSIGNEE(S): Laboratorios Del Esteve, S.A., Spain

SOURCE: PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Spanish

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. K				KIND DATE				APPLICATION NO.				DATE						
WO	9962	884			A1		1999	1209		WO 1	999-:	ES15	6		1	9990	527	<
	W:	ΑE,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	
		DE,	DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	
		JP,	KΕ,	KG,	KΡ,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	
		MN,	MW,	MX,	NO,	NΖ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	
		TM,	TR,	TT,	UA,	UG,	US,	UΖ,	VN,	YU,	ZA,	ZW						
	RW:	GH,	GM,	KΕ,	LS,	MW,	SD,	SL,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	DK,	
		ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	
		CI,	CM,	GΑ,	GN,	GW,	$\mathrm{ML}$ ,	MR,	ΝE,	SN,	TD,	ΤG						
ES	2137	138								ES 1	998-	1129			1	9980	529	<
ES	2137	138			В1		2000	0916										
CA	2333	_					1999			CA 1	999-	2333	475		1	9990.	527	<
CA	2333	475			С		2009	1208										
ΑU	9939	329			A		1999	1220		AU 1	999	3932	9		1	9990.	527	<
ΑU	7520	01			В2		2002	0905										
EP	1083	171			A1		2001	0314		EP 1	999-	9221	92		1	9990.	527	<
EP	1083	171			В1		2004	0428										
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	FΙ															
BR	9910	801			А		2001	1127		BR 1	999-	1080	1		1	9990	527	<

Page 60

SI	20580	A	20011231	SI	1999-20042		19990527	<
HU	2001002102	A2	20020328	HU	2001-2102		19990527	<
HU	2001002102	A3	20020628					
JP	2002516908	T	20020611	JΡ	2000-552096		19990527	<
NZ	508990	A	20021220	ΝZ	1999-508990		19990527	<
TW	572898	В	20040121	TW	1999-88108709		19990527	<
AT	265437	T	20040515	ΑT	1999-922192		19990527	<
RU	2233272	C2	20040727	RU	2000-133231		19990527	<
PT	1083171	E	20040930	PΤ	1999-922192		19990527	<
ES	2221382	T3	20041216	ES	1999-922192		19990527	<
CN	1189459	С	20050216	CN	1999-808111		19990527	
SK	285550	В6	20070301	SK	2000-1807		19990527	
CZ	298391	В6	20070919	CZ	2000-4418		19990527	
NO	2000006029	A	20010126	ИО	2000-6029		20001128	<
BG	105005	A	20010831	ВG	2000-105005		20001128	<
BG	64950	B1	20061031					
LT	4879	В	20020125	LT	2000-108		20001128	<
US	6353117	B1	20020305	US	2000-701276		20001128	<
US	38963	E1	20060131	US	2000-229880		20001128	<
MX	2000011839	A	20010521	MX	2000-11839		20001129	<
IN	216904	A1	20080321	IN	2000-CN668		20001217	
ZA	2000007638	A	20011113	ZA	2000-7638		20001219	<
IN	2000KN00668	A	20050311	ΙN	2000-KN668		20001227	
LV	12632	В	20010720	LV	2000-161		20001228	<
PRIORIT	Y APPLN. INFO.:			ES	1998-1129	Α	19980529	
				WO	1999-ES156	W	19990527	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 132:12302
GI

Diarylpyrazoles I [R1 = H, Me, CH2F, CHF2, CF3, CO2H, alkoxycarbonyl, carbamoyl, CN; R2 = H, Me; R3, R4, R7, R8 = H, C1, F, Me, CF3, OMe; R5 = H, C1, F, Me, CF3, OMe, OCF3, R6 = SO2Me, SO2NH2, SO2NHAc; R5 = SO2Me, SO2NH2, SO2NHAc, R6 = H, C1, F, Me, CF3, OMe, OCF3] were prepared for use in treating inflammation and other processes mediated by COX-2. Thus, 2,4-F2C6H3CHO was treated with CF3COMe to give (E)-2,4-F2C6H3CH:CHCOCF3 which was cyclized with 4-H2NSO2C6H4NHNH2 to give I [R1 = CF3, R2-R4, R7, R8 = H, R5 = SO2Me, R6 = Me] which gave 92% inhibition of COX-2 activity at 40 mg/kg orally in rats.

II 251443-24-0P 251443-26-2P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of diarylpyrazoles as inhibitors of cyclooxygenase-2)  $\rm RN~~251443-24-0~~HCAPLUS$ 

CN 1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-(4-methylphenyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 251443-26-2 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 4,5-dihydro-5-(4-methylphenyl)-1-[4-(methylsulfonyl)phenyl]- (CA INDEX NAME)

IT 251443-25-1P 251443-27-3P 251443-28-4P 251443-29-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylpyrazoles as inhibitors of cyclooxygenase-2)

RN 251443-25-1 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-phenyl- (CA INDEX NAME)

RN 251443-27-3 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-(4-methylphenyl)-, methyl ester (CA INDEX NAME)

RN 251443-28-4 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[4-(aminosulfonyl)phenyl]-4,5-dihydro-5-phenyl-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} O & & & O \\ \parallel & & \\ MeO-C & & N & \\ & & & \\ \end{array}$$

RN 251443-29-5 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 4,5-dihydro-5-(4-methylphenyl)-1-[4-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)

OS.CITING REF COUNT: 24 THERE ARE 24 CAPLUS RECORDS THAT CITE THIS

RECORD (25 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1991:492261 HCAPLUS

DOCUMENT NUMBER: 115:92261

ORIGINAL REFERENCE NO.: 115:15883a,15886a

TITLE: Preparation of 1-phenylpyrazoline-3-carboxylates as

herbicide safeners

INVENTOR(S): Roesch, Wolfgang; Sohn, Erich; Bauer, Klaus;

Bieringer, Hermann

PATENT ASSIGNEE(S): Hoechst A.-G., Germany SOURCE: Ger. Offen., 12 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: Facence German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.		KIN:		APPLICATION NO.		DATE	
DE 3939503 WO 9107874		A1 A1	19910606 19910613	DE 1989-3939503 WO 1990-EP2020		19891130 19901126	
W: AU RW: AT			KR, SU, US DK, ES, FR,	GB, GR, IT, LU, NL,	SE		
AU 9168863 AU 653506		A B2		AU 1991-68863	:	19901126	<
HU 60593		A2		HU 1992-1797		19901126	<
HU 218970 JP 0550308	õ	T	19930527	JP 1991-500106		19901126	<
JP 3088456 EP 635996			19950201	EP 1990-917518		19901126	<
	BE,			GB, GR, IT, LI, NL,			
AT 163124 ES 2114862		_	19980215 19980616	AT 1990-917518 ES 1990-917518		19901126 19901126	
HU 218970		В	20010129	HU 1997-92017		19901126	<
CA 2069901 RU 2228619		C C2	20011030 20040520			19901126 19901126	

IL 96496	A	19941229	IL 1990-96496		19901128 <
CN 1052115	A	19910612	CN 1990-109551		19901129 <
CN 1051078	С	20000405			
ZA 9009591	A	19910925	ZA 1990-9591		19901129 <
LV 10359	В	19960220	LV 1993-307		19930507 <
LT 3372	В	19950825	LT 1993-711		19930625 <
US 5700758	A	19971223	US 1995-468850		19950606 <
US 5703008	A	19971230	US 1995-476065		19950607 <
PRIORITY APPLN. INFO.:			DE 1989-3939503	A	19891130
			WO 1990-EP2020	Α	19901126
			US 1992-848998	В3	19920421

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 115:92261
GI

- The title compds. [I; X = halo, haloalkyl; n = 1-3; R1 = H, alkyl, cycloalkyl, trialkylsilyl, trialkylsilylmethyl, alkoxyalkyl; R2, R3 = H, alkyl, cycloalkyl, alkenyl, alkynyl, haloalkyl, alkoxyalkyl, hydroxyalkyl, alkoxycarbonyl, alkylcarbonyl, alkylaminocarbonyl, halo, cyano, (substituted) Ph; R2R3 = atoms to form a ring], were prepared Thus, methacrylonitrile and Et3N at 70° were treated with Et 2-chloroglyoxalate 2,3-dichlorophenylhydrazone in dimethoxy ethane over 0.5 h; the mixture was stirred 4 h at 80° to give title compound II. II at 1.25 kg/ha reduced damage to wheat caused by 2.0 kg/ha Et feroxaprop from 70% to 30%. Other I gave complete protection.
  - RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as herbicide safener)
- RN 135590-92-0 HCAPLUS
- CN 1H-Pyrazole-3-carboxylic acid, 1-(2,4-dichlorophenyl)-4,5-dihydro-5-methyl-5-phenyl-, ethyl ester (CA INDEX NAME)

OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10589743.trn 07/15/2010 Page 65

L10 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1989:23882 HCAPLUS

DOCUMENT NUMBER: 110:23882
ORIGINAL REFERENCE NO.: 110:4041a,4044a

TITLE: Insecticidal pyrazolinecarboxanilidess, and their

compositions and use in insect control

INVENTOR(S): Stevenson, Thomas Martin

PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA

SOURCE: PCT Int. Appl., 145 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 8805046	A2	19880714	WO 1988-US1		19880104 <
WO 8805046	А3	19880811			
W: SD, US					
EP 330678	A1	19890906	EP 1988-900910		19871214 <
EP 330678	B1	19901024			
R: AT, BE, CH,	DE, FR	, GB, IT, :	LI, LU, NL, SE		
ES 2008408	A6	19890716	ES 1988-6		19880104 <
CN 88100104	A	19880720	CN 1988-100104		19880105 <
ZA 8800040	A	19890927	ZA 1988-40		19880105 <
US 5091405	A	19920225	US 1989-378529		19890512 <
PRIORITY APPLN. INFO.:			US 1987-326	A1	19870105
			US 1987-113530	A1	19871028
			WO 1988-US1	W	19880104

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 110:23882

GΙ

$$R^{2}N$$
 $R^{2}N$ 
 $R$ 

AB The title compds. [I; R1 = substituted Ph; R2 = (un)substituted Ph; X = 0, S; Y = H, alkyl, alkoxyalkyl, alkylthio, haloalkylthio, alkoxycarbonyl, CHO, alkanoyl, haloalkanoyl, (un)substituted PhS; A = H, alkyl, cyano, CO2R3, COR3, CONR3R4, CSNR3R4, C(S)R3, CS2R3, (un)substituted Ph; B = H, alkyl, haloalkyl, alkoxyalkyl, cyanoalkyl, alkoxycarbonylalkyl, alkenyl, alkynyl, alkoxycarbonyl, (un)substituted Ph, PhCH2; R3 = (halo)alkyl, (halo)alkenyl, (halo)alkynyl, alkoxyalkyl, alkylthioalkyl, nitroalkyl, cyanoalkyl, alkoxycarbonylalkyl, (halo)cycloalkyl, (un)substituted Ph, PhCH2; R4 = H, alkyl; R3R4 = (CH2)4, (CH2)5, CH2CH2OCH2CH2] are prepared as

insecticides. Reaction of 4-ClC6H4NHN:CClC02Et (preparation given) with 4-ClC6H4CH:CH2 via formation and dipolar cycloaddn. of a nitrile-imine (Et3N in C6H6) gave Et 1,5-bis(4-chlorophenyl)-4,5-dihydro-1H-pyrazole-3-carboxylate, which was saponified, converted to the acid chloride, amidated with 4-H2NC6H4CF3 to give pyrazolinecarboxanilide II. A formulation contained 10% II on attapulgite granules. As a spray at 0.55 kg/ha II gave  $\geq 80\%$  kill of Spodoptera frugiperda larvae.

IT 118010-87-0P 118010-91-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and conversion of, to acid chloride)

RN 118010-87-0 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro- (CA INDEX NAME)

RN 118010-91-6 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(3,4-dichlorophenyl)-5-(4-fluorophenyl)-4,5-dihydro- (CA INDEX NAME)

IT 118010-85-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and saponification and amidation of)

RN 118010-85-8 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro-5-methyl-, ethyl ester (CA INDEX NAME)

IT 118010-70-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and saponification of)

RN 118010-70-1 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro-, ethyl ester (CA INDEX NAME)

ΙT	118010-64-3P	118010-65-4P	118010-66-5P
	118010-68-7P	118010-69-8P	118010-70-1P
	118010-71-2P	118010-72-3P	118010-73-4P
	118010-74-5P	118010-75-6P	118010-76-7P
	118010-77-8P	118010-78-9P	118010-79-0P
	118010-80-3P	118010-81-4P	

118010-80-3P 118010-81-4P RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as insecticide) RN 118010-64-3 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-(4-chlorophenyl)-1-(4-fluorophenyl)-4,5-dihydro- (CA INDEX NAME)

RN 118010-65-4 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-(4-cyanophenyl)-1-(4-fluorophenyl)-4,5-dihydro- (CA INDEX NAME)

RN 118010-66-5 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(4-fluorophenyl)-4,5-dihydro- (CA INDEX NAME)

RN 118010-68-7 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(3,4-difluorophenyl)-4,5-dihydro- (CA INDEX NAME)

118010-69-8 HCAPLUS RN

CN 1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro-, methyl ester (CA INDEX NAME)

RN 118010-70-1 HCAPLUS

1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro-, ethyl CN ester (CA INDEX NAME)

RN 118010-71-2 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(4-fluorophenyl)-4,5dihydro-, methyl ester (CA INDEX NAME)

118010-72-3 HCAPLUS RN

1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(4-cyanophenyl)-4,5-CN dihydro-, methyl ester (CA INDEX NAME)

118010-73-4 HCAPLUS RN

CN 1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-4,5-dihydro-5-[4-(trifluoromethyl)phenyl]-, methyl ester (CA INDEX NAME)

RN 118010-74-5 HCAPLUS

1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(3,4-dichlorophenyl)-CN 4,5-dihydro-, methyl ester (CA INDEX NAME)

118010-75-6 HCAPLUS RN

CN  $\label{lem:helmonth} \mbox{1H-Pyrazole-3-carboxylic acid, 1-(4-chlorophenyl)-5-(3,4-difluorophenyl)-5-(3,4-difluorophenyl)-5-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difluorophenyl)-6-(3,4-difl$ 4,5-dihydro-, methyl ester (CA INDEX NAME)

RN 118010-76-7 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-(3-chlorophenyl)-1-(4-chlorophenyl)-4,5-dihydro-, methyl ester (CA INDEX NAME)

RN 118010-77-8 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-chlorophenyl)-4,5-dihydro-5-methyl-, methyl ester (CA INDEX NAME)

RN 118010-78-9 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-(4-chlorophenyl)-1-(4-fluorophenyl)-4,5-

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dihydro-, methyl ester (CA INDEX NAME)

118010-79-0 HCAPLUS RN

1H-Pyrazole-3-carboxylic acid, 1,5-bis(4-fluorophenyl)-4,5-dihydro-, methyl ester (CA INDEX NAME) CN

118010-80-3 HCAPLUS RN

1H-Pyrazole-3-carboxylic acid, 5-(4-cyanophenyl)-1-(4-fluorophenyl)-4,5-CN dihydro-, methyl ester (CA INDEX NAME)

RN 118010-81-4 HCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-(3,4-difluorophenyl)-1-(4-fluorophenyl)-4,5-dihydro-, methyl ester (CA INDEX NAME)

OS.CITING REF COUNT: 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (28 CITINGS)

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	90.08	281.84
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE		-11.05

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